

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
7 July 2005 (07.07.2005)

PCT

(10) International Publication Number
WO 2005/061475 A3

(51) International Patent Classification⁷: **C07D 307/85**,
209/42, 215/48, 471/04

(74) Agents: UEKI, Kyuichi et al.; Fujita-Toyobo Building 9th
Floor, 1-16, Dojima 2-chome, Kita-ku, Osaka-shi, Osaka
5300003 (JP).

(21) International Application Number:
PCT/JP2004/019454

(22) International Filing Date:
17 December 2004 (17.12.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2003907110 22 December 2003 (22.12.2003) AU

(71) Applicant (for all designated States except US): **ASTEL-
LAS PHARMA INC.** [JP/JP]; 3-11, Nihonbashi-Honcho
2-chome, Chuo-ku, Tokyo 1038411 (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **HATTORI, Kouji**
[JP/JP]; c/o Astellas Pharma Inc., 3-11, Nihonbashi-Hon-
cho 2-chome, Chuo-ku, Tokyo 1038411 (JP). **FUJII,
Naoaki** [JP/US]; 103, Manor Drive, South San Fran-
cisco, CA 94080 (US). **TANAKA, Akira** [JP/JP]; c/o
Astellas Pharma Inc., 3-11, Nihonbashi-Honcho 2-chome,
Chuo-ku, Tokyo 1038411 (JP). **WASHIZUKA, Kenichi**
[JP/JP]; c/o Astellas Pharma Inc., 3-11, Nihonbashi-Hon-
cho 2-chome, Chuo-ku, Tokyo 1038411 (JP). **SAKURAI,
Minoru** [JP/JP]; c/o Astellas Pharma Inc., 3-11, Nihon-
bashi-Honcho 2-chome, Chuo-ku, Tokyo 1038411 (JP).
KURODA, Satoru [JP/JP]; c/o Astellas Pharma Inc., 3-11,
Nihonbashi-Honcho 2-chome, Chuo-ku, Tokyo 1038411
(JP). **TODA, Susumu** [JP/JP]; c/o Astellas Pharma Inc.,
3-11, Nihonbashi-Honcho 2-chome, Chuo-ku, Tokyo
1038411 (JP). **NAKAJIMA, Yutaka** [JP/JP]; c/o Astel-
las Pharma Inc., 3-11, Nihonbashi-Honcho 2-chome,
Chuo-ku, Tokyo 1038411 (JP).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG).

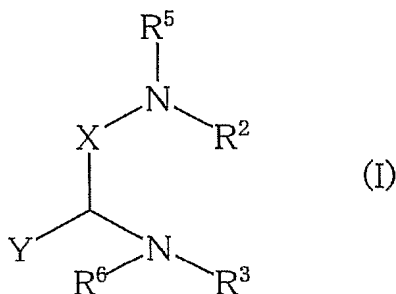
Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

(88) Date of publication of the international search report:
4 May 2006

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: ORNITHINE DERIVATIVES AS PROSTAGLANDIN E₂ AGONISTS OR ANTAGONISTS



(57) Abstract: Ornithine derivatives of the formula (I): wherein X is -CO- or -(CH₂)_k- (wherein k is 1, 2 or 3); Y is Z-(CH₂)_n-, and the like; {wherein Z is R¹-CO-NR⁴-, and the like, (wherein R¹ is aryl, and the like; and R⁴ is hydrogen, or lower alkyl); and n is 1, 2, 3, 4, 5 or 6}; R² is aryl-(lower alkyl), and the like; R³ is -Q-R⁷, [wherein Q is -CO- or -SO₂-, R⁷ is heterocyclyl], and the like; and R⁵ and R⁶ are independently hydrogen or lower alkyl; or a pharmaceutically acceptable salt thereof, which are useful as medicament.